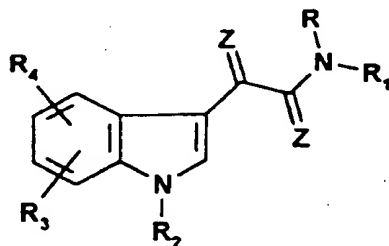


# Patent Claims

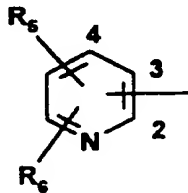
1. N-substituted indol-3-glyoxylamides of the formula  
1



5 and their acid addition salts,  
where the radicals R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and Z have the  
following meaning:

- 10 R = hydrogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, where the alkyl group can  
be mono- or polysubstituted by the phenyl ring.  
This phenyl ring, for its part, can be mono- or  
polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-  
cycloalkyl, by carboxyl groups, carboxyl groups  
15 esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl  
groups, hydroxyl groups, methoxy groups, ethoxy  
groups, benzyloxy groups and by a benyl [sic]  
group which is mono- or polysubstituted in the  
phenyl moiety by (C<sub>1</sub>-C<sub>6</sub>)-alkyl groups halogen atoms  
20 or trifluoromethyl groups,

- R<sub>1</sub> can be a phenyl ring which is mono- or poly-  
substituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy,  
hydroxyl, benzyloxy, nitro, amino, (C<sub>1</sub>-C<sub>6</sub>)-  
25 alkylamino, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy-carbonylamino and by a  
carboxyl group or a carboxyl group esterified by  
(C<sub>1</sub>-C<sub>6</sub>)-alkanols, or is a pyridin structure of the  
formula II



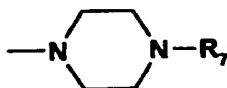
Formula II

where the pyridin structure is alternatively  
 5 bonded to the ring carbon atoms 2, 3 and 4 and  
 can be substituted by the substituents R<sub>5</sub> and R<sub>6</sub>.  
 The radicals R<sub>5</sub> and R<sub>6</sub> can be identical or  
 different and have the meaning (C<sub>1</sub>-C<sub>6</sub>)-alkyl, and  
 also the meaning (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)-  
 10 alkoxy, nitro, amino, hydroxyl, halogen and  
 trifluoromethyl and are furthermore the ethoxy-  
 carbonylamino radical and the group carboxy-  
 alkyloxy in which the alkyl group can have 1-4 C  
 atoms,

15 R<sub>1</sub> can furthermore be a 2- or 4-pyrimidinyl-  
 heterocycle or a pyridylmethyl radical in which  
 CH<sub>2</sub> can be in the 2-, 3-, 4-position where the 2-  
 pyrimidinyl ring can be mono- or polysubstituted  
 20 by the methyl group, furthermore are [sic] the 2-  
 , 3- and 4-quinolyl structure substituted by (C<sub>1</sub>-  
 C<sub>6</sub>)-alkyl, halogen, the nitro group, the amino  
 group and the (C<sub>1</sub>-C<sub>6</sub>)-alkylamino radical, or are  
 [sic] a 2-, 3- and 4-quinolyl methyl group, where  
 25 the ring carbons of the pyridylmethyl and  
 quinolylmethyl radical can be substituted by (C<sub>1</sub>-  
 C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, nitro, amino and (C<sub>1</sub>-  
 C<sub>6</sub>)-alkoxy-carbonylamino,

30 R<sub>1</sub> for the case where R is hydrogen or the benzyl  
 group, can furthermore be the acid radical of a  
 natural or unnatural amino acid, e.g. the α-  
 glyceryl, the α-sarcosyl, the α-alanyl, the α-  
 leucyl, the α-isoleucyl, the α-seryl, the α-  
 35 phenylalanyl, the α-histidyl, the α-prolyl, the

5  $\alpha$ -arginyl, the  $\alpha$ -lysyl, the  $\alpha$ -asparagyl and the  
 $\alpha$ -glutamyl radical, where the amino groups of the  
respective amino acids can be present in  
unprotected or protected form and are possible  
protective groups for the amino function of the  
10 carbobenzoxy radical (Z radical) and the tert-  
butoxycarbonyl radical (BOC radical) and also the  
acetyl group. In the case of the asparagyl and  
glutamyl radical claimed for  $R_1$ , the second,  
nonbonded carboxyl group is present as a free  
carboxyl group or in the form of an ester with  
15  $C_1$ - $C_6$ -alkanols, e.g. as the methyl, ethyl or as  
the tert-butyl ester.  $R_1$  can furthermore be the  
allylaminocarbonyl-2-methylprop-1-yl group. R and  
 $R_1$ , together with the nitrogen atom to which they  
are bonded, can furthermore form a piperazine  
ring of the formula III or a homopiperazine ring  
if  $R_1$  is an aminoalkylene group in which



20 Formula III

$R_7$  is an alkyl radical, a phenyl ring which can be  
mono- or polysubstituted by  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -  
alkoxy, halogen, the nitro group, the amino  
25 function, by  $(C_1-C_6)$ -alkylamino, the benzhydryl  
group and the bis-p-fluorobenzylhydryl group,

$R_2$  can be hydrogen or the  $(C_1-C_6)$ -alkyl group, where  
the alkyl group can be mono- or polysubstituted by  
30 halogen and phenyl which for its part can be mono-  
or polysubstituted by halogen,  $(C_1-C_6)$ -alkyl,  $(C_3-$   
 $C_7)$ -cycloalkyl, carboxyl groups, carboxyl groups  
esterified with  $(C_1-C_6)$ -alkanols, trifluoromethyl  
groups, hydroxyl groups, methoxy groups, ethoxy  
35 groups or benzyloxy groups. The  $(C_1-C_6)$ -alkyl group  
counting as  $R_2$  can furthermore be substituted by  
the 2-quinolyl group and the 2-, 3- and 4-pyridyl

structure, which in each case can both be mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl groups or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy groups. R<sub>2</sub> is furthermore the aroyl radical, where the aryl moiety on which this  
5 radical is based is the phenyl ring which can be mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carboxyl groups, carboxyl groups esterified by (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy  
10 groups, ethoxy groups or benzyloxy groups,

R<sub>3</sub> and R<sub>4</sub> can be identical or different and are hydrogen, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halogen and  
15 benzyloxy. R<sub>3</sub> and R<sub>4</sub> can furthermore be the nitro group, the amino group, the (C<sub>1</sub>-C<sub>4</sub>)-mono- or dialkyl-substituted amino group, and the (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonylamino function or the (C<sub>1</sub>-C<sub>3</sub>)-alkoxy-carbonylamino- (C<sub>1</sub>-C<sub>3</sub>)-alkyl function,

20

Z is O or S,

and where the designation alkyl, alkanol, alkoxy or alkylamino group for the radicals R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>,  
25 R<sub>6</sub> and R<sub>7</sub> is normally to be understood as meaning "straight-chain" and "branched" alkyl groups, where "straight-chain alkyl groups" can be, for example, radicals such as methyl, ethyl, n-propyl, n-butyl, n-pentyl and n-hexyl and "branched alkyl groups"  
30 designate, for example, radicals such as isopropyl or tert-butyl. "Cycloalkyl" is to be understood as meaning radicals such as, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl or cycloheptyl, additionally the designation "halogen" represents  
35 fluorine, chlorine, bromine or iodine, and the designation "alkoxy group" represents radicals such as, for example, methoxy, ethoxy, propoxy, butoxy, isopropoxy, isobutoxy or pentoxy.